

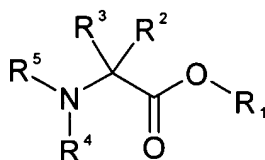
Amendments to the Claims:

This listing of the claims will replace all prior versions, and listings, of claims in the application.

Listing of the Claims:

Claims 1 - 4. Canceled.

5. (Currently Amended) A method for making a compound of Formula 1



Formula 1

where ~~R¹, R², and R³ are the same or different and are~~ R¹, R², and R³ are selected from:

- (a) ~~H, with the proviso that at least one of R² and R³ is not H,~~
- (b) ~~mono-, di-, and tri-substituted aryl, and~~
- (c) ~~C₁-C₁₀ alkyl, C₁-C₁₀ substituted alkyl, C₁-C₁₀ substituted alkyl-aryl, C₁-C₁₀ substituted alkenyl, and C₁-C₁₀ substituted alkenyl aryl, and~~

R² and R³ are the same or different and are selected from

- (a) H, with the proviso that at least one of R² and R³ is not H, and
- (b) C₁-C₁₀ alkyl, C₁-C₁₀ substituted alkyl, C₁-C₁₀ substituted alkyl-aryl, C₁-C₁₀ substituted alkenyl, and C₁-C₁₀ substituted alkenyl aryl, and wherein R² and R³ may be joined together to form a cyclic or heterocyclic ring having a ring size of 3 to 8 members,

where the substituents of ~~(b) and (c)~~ (b) and (c) ~~R¹, R², and R³ are selected from:~~

H, chloro, fluoro, bromo, iodo, nitro, cyano, amino, C₁-C₁₀ alkyloxy, C₁-C₁₀ alkyloxy aryl, C₁-C₁₀ aminoalkyl, C₁-C₁₀ alkylamino, C₁-C₁₀ aminoalkyl aryl, C₁-C₁₀ aminocarbonyl, C₁-C₁₀ aminocarbonylalkyl-aryl, C₁-C₁₀ thioalkyl, C₁-C₁₀ thioalkyl-aryl, C₁-C₁₀ alkylsulfoxide, C₁-C₁₀ alkylsulfone, C₁-C₁₀

alkylsulfonamide, C₁-C₁₀ alkylsulfonamide aryl, C₁-C₁₀ alkylsulfoxide aryl, C₁-C₁₀ alkylsulfone aryl, C₁-C₁₀ alkyl, aminocarbonylamino C₁-C₁₀ alkyl, C₁-C₁₀ alky aminocarbonylamino C₁-C₁₀ alkyl aryl, C₁-C₁₀ alkyloxycarbonyl C₁-C₁₀ alkyl, C₁-C₁₀ alkyloxycarbonyl C₁-C₁₀ alkyl aryl, C₁-C₁₀ carboxyalkyl, C₁-C₁₀ carboxyalkyl aryl, C₁-C₁₀ carbonylalkyl, C₁-C₁₀ carbonylalkyl aryl, C₁-C₁₀ alkyloxycarbonylamino alkyl, C₁-C₁₀ alkyloxycarbonylamino alkyl aryl, guanidino, C₁-C₁₀ alkylCOOH, C₁-C₁₀ alkylCONH₂, C₁-C₁₀ alkenylCOOH, C₁-C₁₀ alkenyl CONH₂, and

where the aryl group of ~~(b) and (c)~~ R¹, R², and R³ is selected from:

phenyl, biphenyl, 2-naphthyl, 1-naphthyl, pyridyl, furyl, thiophenyl, indolyl, isothiazolyl, imidazolyl, benzimidazolyl, tetrazolyl, pyrazinyl, pyrimidyl, quinolyl, isoquinolyl, benzofuryl, isobenzofuryl, benzothienyl, pyrazolyl, isoindolyl, purinyl, carbazolyl, isoxazolyl, thiazolyl, oxazolyl, benthiazolyl, benzoxazolyl; and

where R⁴ and R⁵ are the same or different and are selected from:

(d) H, and

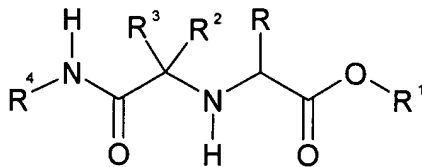
(e) an amine protecting group;

said method comprising:

(i) reacting

a amino acid/~~chiral auxiliary~~ of the formula NH₂-CHR-COOH
or a salt thereof, wherein R is an aryl group selected from the
group consisting of phenyl, biphenyl, 1-naphthyl, and 2-
naphthyl, wherein the aryl group of R is substituted with 1 to
5 substituents selected from the group consisting of
hydrogen, cyano, amino, C₁-C₁₀ alkyl, C₁-C₁₀ alkyloxy, C₁-
C₁₀ alkyloxyaryl, C₁-C₁₀ aminoalkyl, C₁-C₁₀ alkylamino, C₁-
C₁₀ aminoalkyl aryl,
a convertible isocyanide, and
~~at least one of an aldehyde and a ketone~~ a compound of the
formula R³-CO-R²,

in an alcohol or an alcohol-containing solvent to obtain a compound of Formula 2



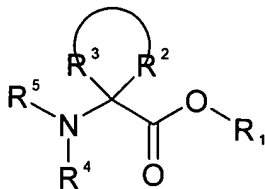
Formula 2

and

(ii) subjecting the compound of Formula 2 to ~~aryl-amine/hydrolysis,~~
~~including catalytic hydrogenation conditions,~~ and to amide
cleavage/~~hydrolysis conditions,~~ to obtain the compound of
Formula 1.

6. (Currently Amended) The method of claim 5, where the amine protecting group of R⁴ or R⁵ is selected from phenyl, cyclohexenyl, cyclohexyl, t-butyl, 9-fluorenylmethylcarbonyl, tert-butyloxycarbonyl, allyloxycarbonyl, and benzyloxycarbonyl.

7. (Original) The method of claim 5, where the groups R² and R³ are joined together to form cyclic compound with a ring system as represented by Formula 1a

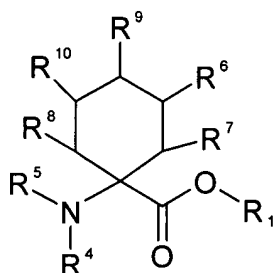


Formula 1a

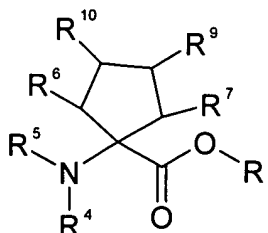
where the ring system has a ring size of 3 to 8 members.

8. (Original) The method of claim 7, where the ring system is selected from:

- (a) mono-, di-, tri-, or tetra-substituted cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cycloheptyl, and cyclooctyl as shown in compounds of Formulae 1b and 1c

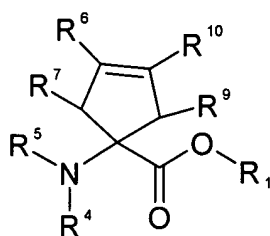


Formula 1b



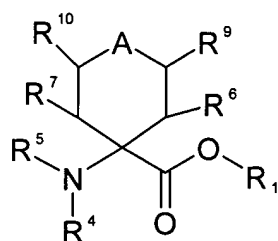
Formula 1c

- (b) mono-, di-, tri-, or tetra-substituted cyclopropenyl, cyclobutenyl, cyclopentenyl, cyclohexenyl, cycloheptenyl, and cyclooctenyl as shown in compounds of Formula 1d

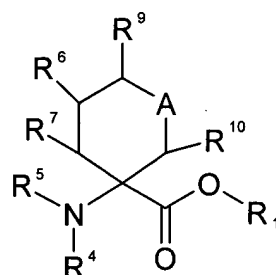


Formula 1d

- (c) mono-, di-, tri- or tetra-substituted heterocyclic compounds of Formulae 1e and 1f, where A is O, S, SO, SO₂, NH, SO₂NHR⁸, NCONHR⁸, NCOOR⁸, or NR⁸,



Formula 1e



Formula 1f

and where R^6 , R^7 , R^8 , R^9 and R^{10} of Formulae 1a-1f are the same or different and are selected from:

- (d) H,
- (e) mono-, di-, and tri-substituted aryl, and
- (f) C_1 - C_{10} substituted alkyl, C_1 - C_{10} -substituted alkyl-aryl C_1 - C_{10} substituted alkenyl, and C_1 - C_{10} substituted alkenyl aryl,

where the substituents of (e) and (f) are selected from:

H, chloro, fluoro, bromo, iodo, nitro, cyano, amino, C_1 - C_{10} alkyloxy, C_1 - C_{10} alkyloxy aryl, C_1 - C_{10} aminoalkyl, C_1 - C_{10} alkylamino, C_1 - C_{10} aminoalkyl aryl, C_1 - C_{10} aminocarbonyl, C_1 - C_{10} aminocarbonylalkyl-aryl, C_1 - C_{10} thioalkyl, C_1 - C_{10} thioalkyl-aryl, C_1 - C_{10} alkylsulfoxide, C_1 - C_{10} alkylsulfone, C_1 - C_{10} alkylsulfonamide, C_1 - C_{10} alkylsulfonamide aryl, C_1 - C_{10} alkylsulfoxide aryl, C_1 - C_{10} alkylsulfone aryl, C_1 - C_{10} alkyl, aminocarbonylamino C_1 - C_{10} alkyl, C_1 - C_{10} alkyl aminocarbonylamino C_1 - C_{10} alkyl aryl, C_1 - C_{10} alkyloxycarbonyl C_1 - C_{10} alkyl, C_1 - C_{10} alkyloxycarbonyl C_1 - C_{10} alkyl aryl, C_1 - C_{10} carboxyalkyl, carboxyalkyl aryl, C_1 - C_{10} carbonylalkyl, C_1 - C_{10} carbonylalkyl aryl, C_1 - C_{10} alkyloxycarbonylamino alkyl, C_1 - C_{10} alkyloxycarbonylamino alkyl aryl, guanidino, C_1 - C_{10} alkylCOOH, C_1 - C_{10} alkylCONH₂, C_1 - C_{10} alkenylCOOH, C_1 - C_{10} alkenyl CONH₂,

and where the aryl group of (e) and (f) are selected from:

phenyl, biphenyl, 2-naphthyl, 1-naphthyl, pyridyl, furyl, thiophenyl, indolyl, isothiazolyl, imidazolyl, benzimidazolyl, tetrazolyl, pyrazinyl, pyrimidyl, quinolyl, isoquinolyl, benzofuryl, isobenzofuryl, benzothienyl, pyrazolyl, isoindolyl,

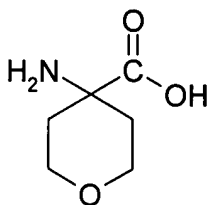
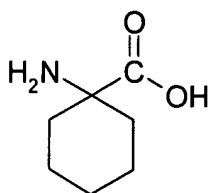
purinyl, carbazolyl, isoxazolyl, thiazolyl, oxazolyl, benthiazolyl, and benzoxazolyl.

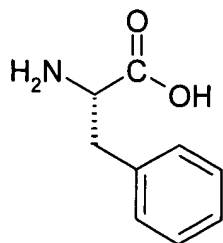
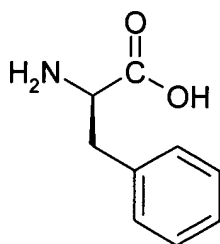
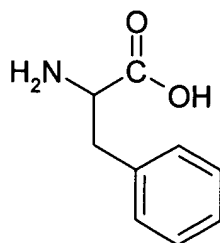
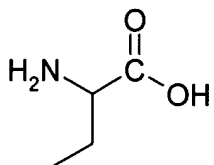
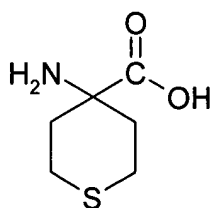
9. (Currently Amended) The method of claim 5, where the amino acid/~~chiral auxiliary~~ is phenyl glycine, the convertible isocyanide is ~~isocyanide~~ cyclohexenyl, tert-butyl, cyclohexyl, phenyl, or 2-(tert-butyl dimethylsilyloxy methyl) phenyl isocyanides, the alcohol is methanol, ethanol, or isopropanol, and the catalytic hydrogenation ~~employs conditions~~ employ $\text{Pd}(\text{OH})_2$ for a catalyst.

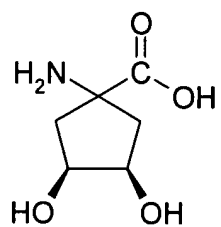
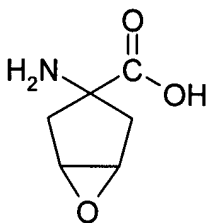
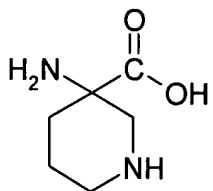
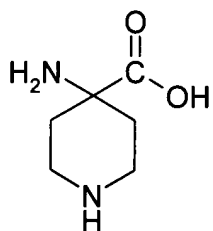
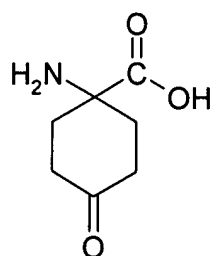
10. (Currently Amended) The method of claim 5, further comprising the step of ~~where step (ii) comprises that the aryl amine/hydrolysis and the amide cleavage/hydrolysis are followed by an amine protection reaction to place~~ attaching at least one amine protecting group on the N amine of Formula 1.

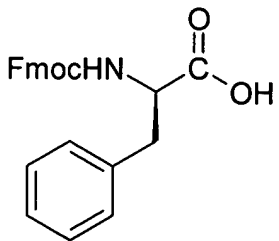
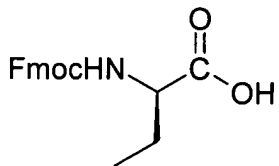
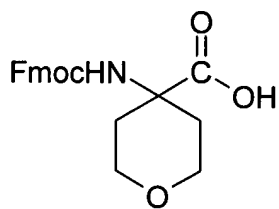
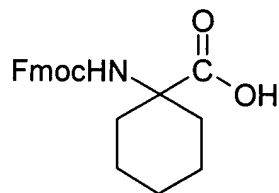
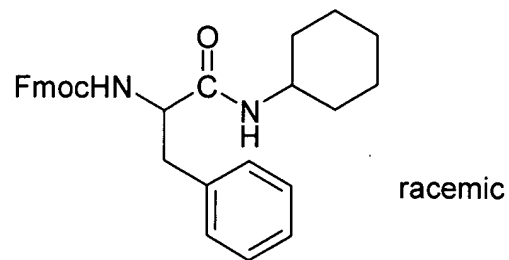
11. (Canceled).

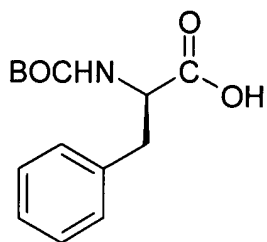
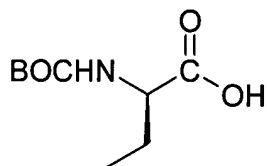
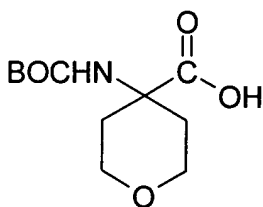
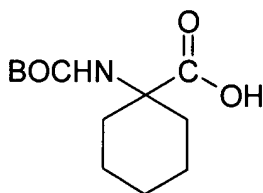
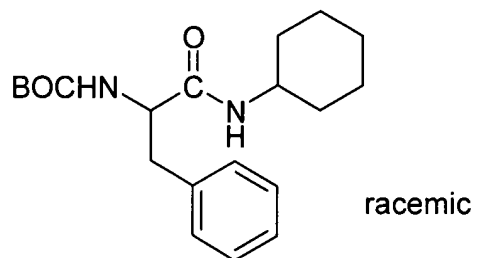
12. (Original) The method of claim 5, where Formula 1 comprises a compound selected from the group consisting of:











and

